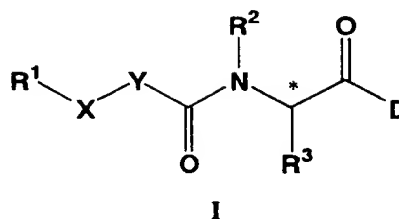


What is claimed is:

1. A compound having a formula:

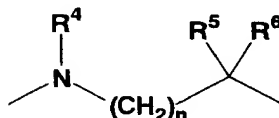


wherein

R¹ is substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl,
5 substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, or substituted
or unsubstituted amino,

X is -CO- or -SO₂-

Y is:



wherein

10 n is an integer from 0-4,

R⁴ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
cycloalkyl, substituted or unsubstituted aryl,

R⁵ and R⁶ are independently selected from hydrogen, substituted or unsubstituted
alkyl, or

15 R⁵ and R⁶ or R⁴ and R⁵ are taken together to form substituted or unsubstituted
alkylene,

R² is hydrogen, or substituted or unsubstituted alkyl,

R³ is substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl,
substituted or unsubstituted aryl,

20 D is substituted or unsubstituted amino, substituted or unsubstituted alkoxy, or
substituted or unsubstituted alkylthio,

* represents an asymmetric center, and
pharmaceutically acceptable salts thereof.

25 2. A compound and pharmaceutically acceptable salts according to Claim 1:
wherein R¹ is C₁-₁₁ alkyl which may be substituted by substituted or unsubstituted
cycloalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl,
and/or hydroxy; C₃-₆ cycloalkyl which may be substituted by substituted or
unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted
30 aryl, and/or hydroxy; C₁-₁₁ alkoxy which may be substituted by substituted or

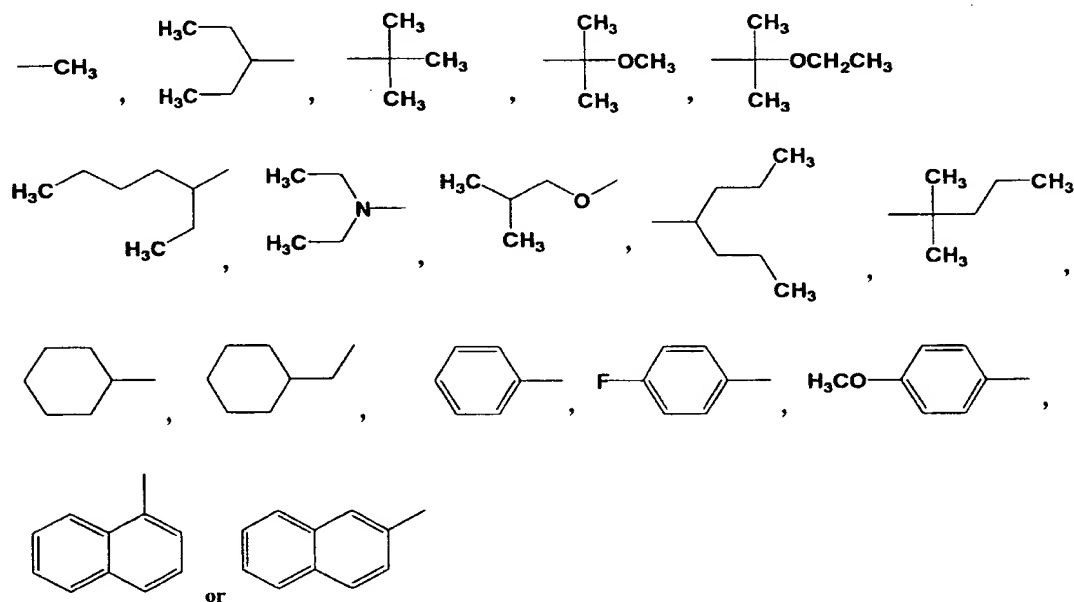
unsubstituted cycloalkyl, substituted or unsubstituted aryl, and/or hydroxy; aryl which may be substituted by substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, and/or hydroxy; or, amino which may be substituted by substituted or unsubstituted alkyl, and/or substituted or unsubstituted aryl.

5

3. A compound and pharmaceutically acceptable salts according to Claim 2: wherein R^1 is C_{1-11} alkyl which may be substituted by cycloalkyl, alkoxy, arylalkoxy, aryl and/or halogenated aryl; C_{3-6} cycloalkyl which may be substituted by alkyl; C_{1-5} alkoxy which may be substituted by aryl; aryl which may be substituted by alkyl, alkoxy and/or halogen; or, $di(C_{1-6} \text{ alkyl})\text{amino}$.

10

4. A compound and pharmaceutically acceptable salts according to Claim 3: wherein R^1 is selected from the group consisting of



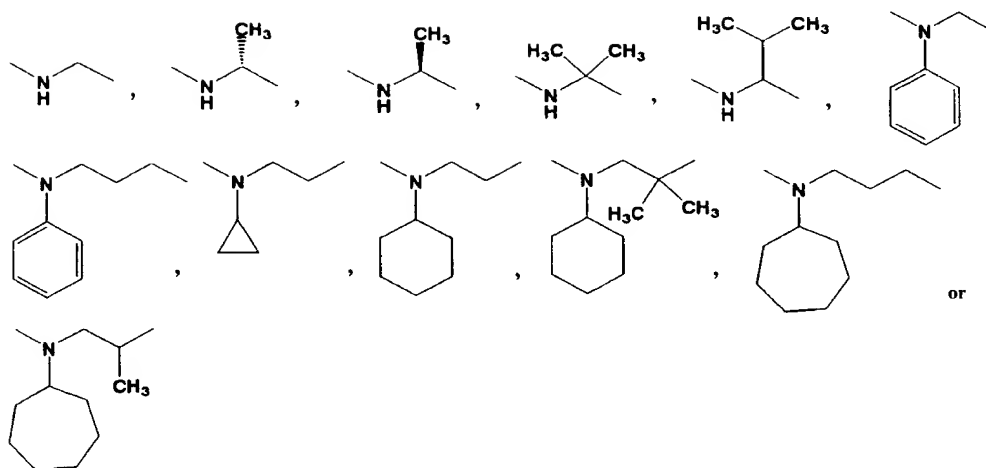
15

5. A compound and pharmaceutically acceptable salts according to Claim 1: wherein; in formula Y, R^4 is hydrogen, C_{1-6} alkyl which may be substituted by aryl, C_{1-6} cycloalkyl, or aryl.

20

6. A compound and pharmaceutically acceptable salts according to Claim 5: wherein Y is selected from the group consisting of

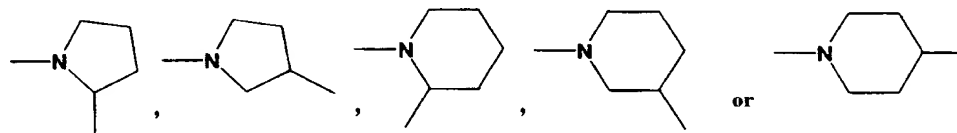
25



7. A compound and pharmaceutically acceptable salts according to Claim 1:
 5 in formula Y, wherein R^4 and R^5 are taken together to form $-(CH_2)_m-$, wherein m is an integer from 0-4.

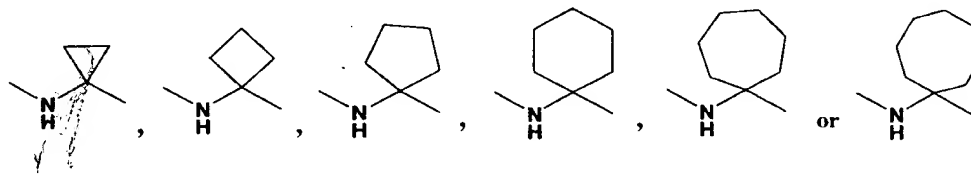
8. A compound and pharmaceutically acceptable salts according to Claim 7:
 10 wherein m+n is 3 or 4.

9. A compound and pharmaceutically acceptable salts according to Claim 8:
 wherein Y is selected from the group consisting of

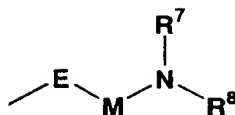


10. A compound and pharmaceutically acceptable salts according to Claim 1:
 15 wherein R^5 and R^6 are taken together to form alkylene.

11. A compound and pharmaceutically acceptable salts according to Claim 10:
 wherein Y is selected from the group consisting of;



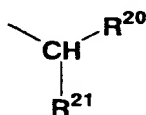
12. A compound and pharmaceutically acceptable salts according to Claim 1;



wherein E is $\cdot\text{O}\cdot$, $\cdot\text{S}\cdot$, or $\cdot\text{N}(\text{R}^9)\cdot$ in which R^9 is hydrogen, substituted or unsubstituted alkyl, or substituted or unsubstituted cycloalkyl,

5 R^7 is hydrogen, C_{1-5} alkyl,

R^8 is hydrogen, substituted or unsubstituted C_{1-8} acyl, amidino, C_{1-6} alkoxycarbonyl, or

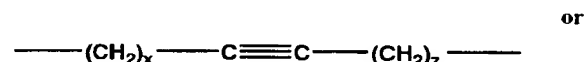
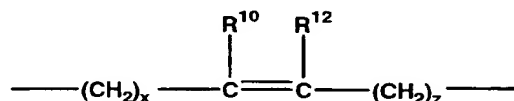
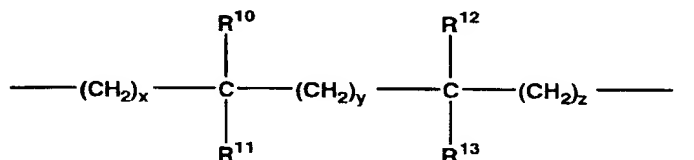


10 in which R^{20} is hydrogen, C_{1-5} alkyl, R^{21} is hydrogen, C_{1-8} alkyl, C_{3-8} cycloalkyl, C_{3-8} heterocycloalkyl, aryl, heteroaryl, C_{1-6} hydroxylalkyl, C_{1-6} alkoxyalkyl, aryloxy, arylalkyloxy which may be substituted by halogen, hydroxy, C_{1-6} alkyl, alkoxy, nitro, amino, substituted amino, cyano, carbonyl, C_{1-6} alkylcarbonyl, or

R^7 and R^9 are taken together to form alkylene, or

R^7 and R^8 are taken together to form alkylene or hetero aromatic ring,

15 M is



wherein x, y, and z are independently an integral number from 0 to 4,

R^{10} , R^{11} , R^{12} and R^{13} are independently hydrogen, halogen, substituted or unsubstituted alkyl, $\cdot\text{OR}^{14}$, $\cdot\text{SR}^{14}$, $\cdot\text{NR}^{14}\text{R}^{15}$, $\cdot\text{NHC}(\text{O})\text{R}^{14}$, $\cdot\text{C}(\text{O})\text{OR}^{14}$, $\cdot\text{OC}(\text{O})\text{R}^{14}$, $\cdot\text{OC}(\text{O})\text{OR}^{14}$, or $\cdot\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$, or taken together with R^7 or R^8 to form alkylene or hetero aromatic ring,

R^{14} and R^{15} are independently hydrogen, or substituted or unsubstituted alkyl, or R^{14} is

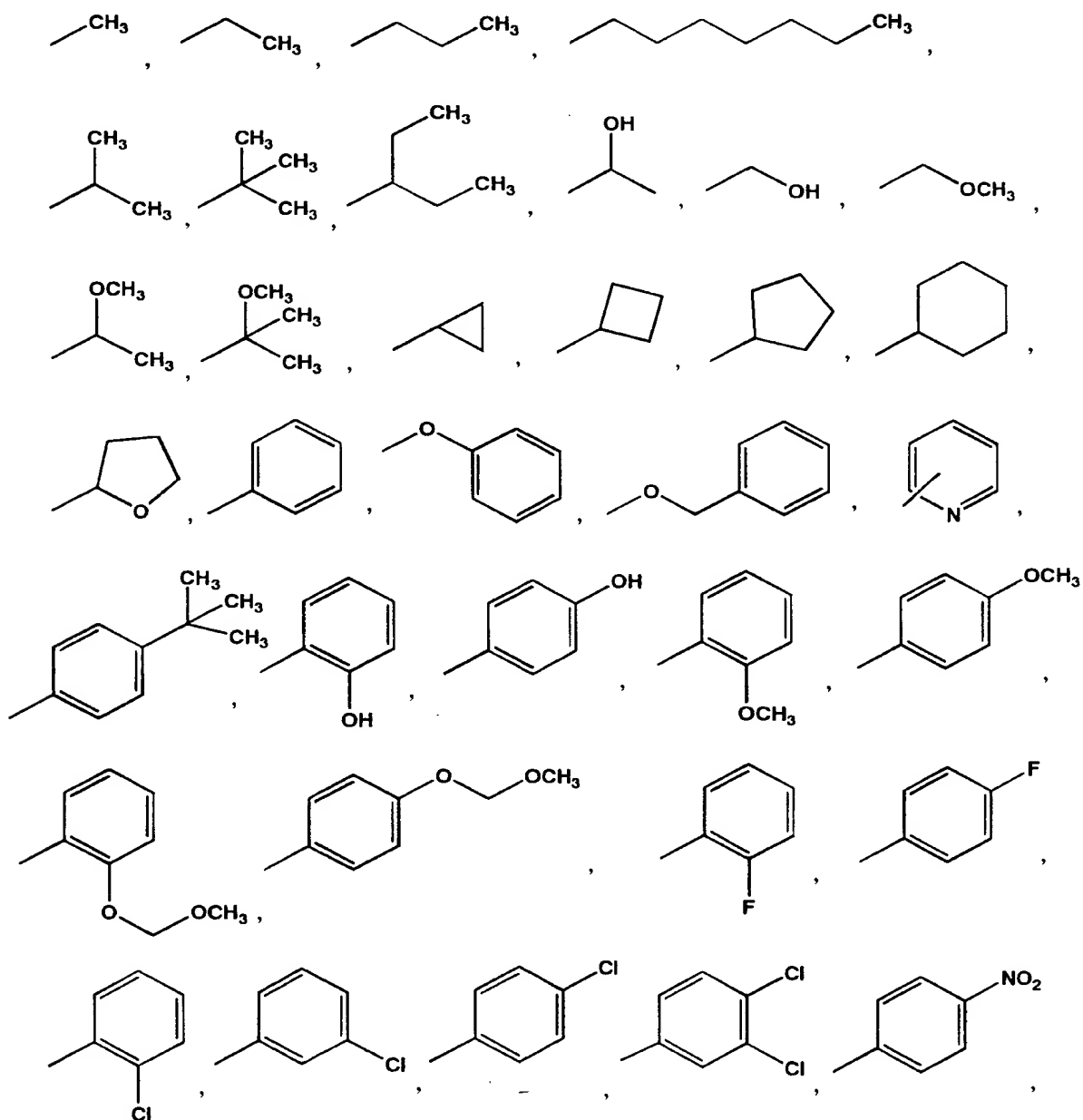
taken together with R⁷ or R⁹ to form alkylene,

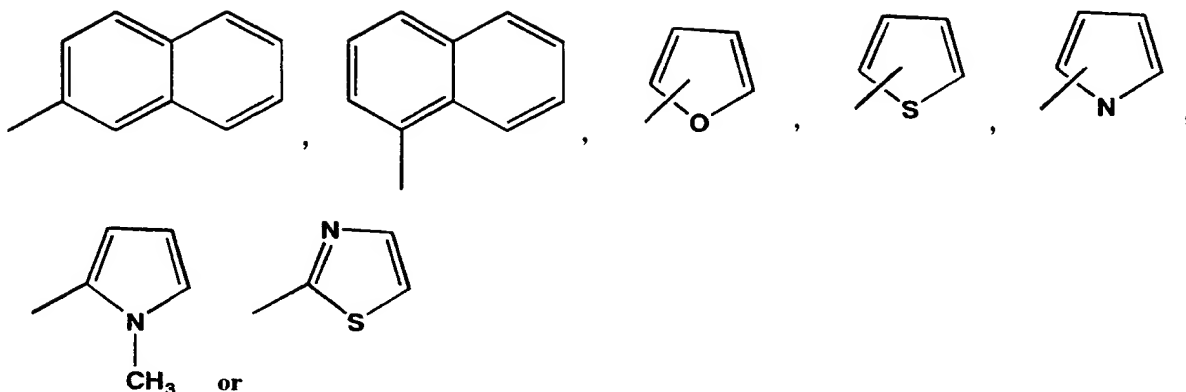
R¹⁰ and R¹², or R¹¹ and R¹³ are taken together to form alkylene, or hetero aromatic ring,

R¹⁰ and R¹¹, or R¹² and R¹³ are taken together with carbon atom which bind each substituent to form carbonyl, thiocarbonyl, or imine.

5

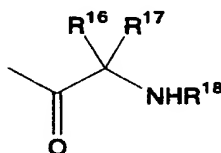
16. A compound and pharmaceutically acceptable salts according to Claim 15; wherein R²¹ is selected from the group consisting of —





17. A compound and pharmaceutically acceptable salts according to Claim 15: wherein in the first formula of Claim 15, R^9 is hydrogen, C_{1-5} alkyl or C_{3-8} cycloalkyl which may have hydroxy or amino, R^7 and R^8 are independently hydrogen, substituted or unsubstituted C_{1-5} alkyl, substituted or unsubstituted C_{1-8} acyl, or substituted or unsubstituted C_{1-6} alkoxy carbonyl, R^7 and R^8 , or R^7 and R^9 are taken together to form alkylene, R^{10} , R^{11} , R^{12} and R^{13} are independently hydrogen, halogen, substituted or unsubstituted C_{1-5} alkyl, $-OR^{14}$, $-SR^{14}$, $-NR^{14}R^{15}$, $-NHC(O)R^{14}$, $-C(O)OR^{14}$, or $-OC(O)OR^{14}$, R^{10} is taken together with R^7 or R^9 to form alkylene, R^{14} and R^{15} are independently hydrogen or C_{1-5} alkyl, R^{14} is taken together with R^7 or R^9 to form alkylene.

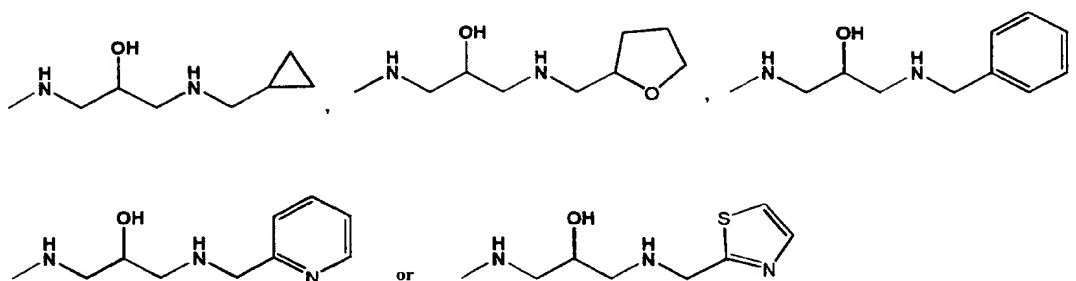
18. A compound and pharmaceutically acceptable salts according to Claim 15: wherein R^8 is defined:



R^{16} and R^{17} are independently hydrogen or C_{1-6} alkyl, R^{18} is hydrogen or substituted or unsubstituted C_{1-6} alkyl, or substituted or unsubstituted aminoalkyl carbonyl.

19. A compound and pharmaceutically acceptable salts according to Claim 15: wherein D is selected from the group consisting of





20. A compound and pharmaceutically acceptable salts according to Claim 1 which is selecting from;

- 5 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(2,2-dimethylpentanoyl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- N-(3-Methylamino-2-hydroxypropyl)-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- 10 N-(3-Amino-2-hydroxypropyl)-2(R)-[(2-benzoylamino-2-methyl)-propionylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- N-(3-Amino-2-hydroxypropyl)-2(R)-[(2-benzensulfonylamino-2-methyl)-propionylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- N-(3-Amino-2-hydroxypropyl)-2(R)-[(2-benzylcarbonylamino-2-methyl)-propionyl]-3-naphthalen-2-yl-propionamide hydrochloride,
- 15 N-(3-Amino-2-hydroxypropyl)-2(R)-[2-(3-chloro-3-methylbutyrylamino)-2-methylpropionylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(2,2-dimethylpropionyl)pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- 20 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(3,3-dimethylbutyryl)pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(2-propylpentanoyl)pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- N-(3-Amino-2-hydroxypropyl)-2(R)-[1-cyclohexylcarbonylpyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- 25 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-cyclohexylacetylpyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(4-*tert*-butyl-cyclohexylcarbonyl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- 30 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(benzoyl)pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(4-fluorobenzoyl)pyrrolidine-2(S)-

- carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(2-ethylbutyryl)pyrrolidine-2(R)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(isobutyloxycarbonyl)pyrrolidine-2(S)-
 5 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-acetyloxypropyl)-2(R)-[1-(2-ethylbutyryl)pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(4-fluorobenzoyl)piperidine-4-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 10 N-(3-Amino-2-hydroxypropyl)-2(R)-[2-(2-ethylbutyrylamino)-2-methyl-
 propionylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[2-(4-fluorobenzoylamino)-2-
 methylpropionylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-(1-benzoylamino)-
 15 cyclohexylcarbonylamino-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Aminopropyl)-2(R)-[3-(N-acetyl-N-phenylamino)-
 propionylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Aminopropyl)-2(R)-[4-(N-methanesulfonyl-N-phenylamino)-
 butyrylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 20 N-(3-Aminopropyl)-2(R)-[4-(N-phenyl-N-p-toluensulfonylamino)-
 butyrylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[4-(N-cycloheptyl-N-methanesulfonylamino)-
 butyrylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[3-(N-cycloheptyl-N-methanesulfonylamino)-
 25 2-methylpropionylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[3-(N-cyclohexyl-N-methanesulfonylamino)-
 2-methylpropionylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[3-(N-cyclohexyl-N-ethoxycarbonylamino)-
 2-methylpropionylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 30 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(isobutyryl)pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(N,N-diethylaminocarbonyl)-pyrrolidine-
 2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Methylaminopropyl)-2(R)-[1-(2-ethylbutyryl)pyrrolidine-2(S)-
 35 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Hydroxypropylamino)-2-hydroxypropyl]-2(R)-[1-(2-ethylbutyryl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-methylpropylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-

- pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(4-methyl-2-isobutylpentanoyl)-pyrrolidine-
 2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(2,2-dimethylbutyryl)-pyrrolidine-2(S)-
 5 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2(R)-Benzyloxypropylamino)-2-hydroxypropyl]-2(R)-[1-(2-ethylbutyryl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[2-(2-Amino-2-methylpropionylamino)ethyl]-2(R)-[1-(2,2-dimethylpentanoyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 10 N-[2-(2-Aminopropionylamino)ethyl]-2(R)-[1-(2-ethylbutyryl)pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-(3-Amino-2-hydroxypropyl)-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-2(S)-carbonyl-
 amino]-3-(5,6,7,8-tetrahydro)naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2(R)-Hydroxypropylamino)-2-hydroxypropyl]-2(R)-[1-(4-methyl-2-isobutyl-
 15 pentanoyl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 hydrochloride,
 N-[3-(2(R)-Hydroxypropylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethyl-
 pentanoyl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 hydrochloride,
 20 N-[3-(2(R)-Hydroxypropylamino)-2-hydroxypropyl]-2(R)-[2-benzoylamino-2-
 methylpropionylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-Methyl-N-(3-aminoethyl)-2(R)-[1-(2,2-dimethylbutyryl)-pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2(R)-Benzyloxypropylamino)propyl]-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-
 25 2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-Benzylaminopropyl]-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-2(S)-carbonyl-
 amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2(R)-Benzyloxypropylamino)-2(RorS)-hydroxypropyl]-2(R)-[1-(2-ethyl-
 butyryl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 30 hydrochloride,
 N-[3-(2(R)-Benzyloxypropylamino)-2(SorR)-hydroxypropyl]-2(R)-[1-(2-ethyl-
 butyryl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 hydrochloride,
 N-[3-Phenethylaminopropyl]-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-2(S)-carbonyl-
 35 amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-methylpropylamino)propyl]-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Phenoxyethylamino)propyl]-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-2(S)-

- carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-methylpropylamino)-2-hydroxypropyl]-2(R)-[1-(2-ethylbutyryl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 hydrochloride,
- 5 N-[3-(2(R)-Methoxypropylamino)-2-hydroxypropyl]-2(R)-[1-(2-ethylbutyryl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-Aminopropyl]-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-2(S)-carbonyl-
 amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-methylpropylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-
 10 2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-Amino-2-methoxypropyl]-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-2(S)-carbonyl-
 amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2(R)-Methoxypropylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- 15 N-[3-(2(R)-Methoxypropylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Methoxyethylamino)-2-hydroxypropyl]-2(R)-[1-(2-ethylbutyryl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2(R)-Benzyloxypropylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethyl-
 20 propionyl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 hydrochloride,
 N-[3-Aminopropyl]-2(R)-[2-benzoylamino-2-methylpropionylamino]-3-naphthalen-2-
 yl-propionamide hydrochloride,
 N-[3-(2-Methoxyethylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 25 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2,2-dimethylpropylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-Cyclohexylmethylamino-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
- 30 N-[3-Benzylamino-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Chlorobenzylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Tetrahydrofuranylmethylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethyl-
 35 propionyl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 hydrochloride,
 N-[3-Cyclopropylmethylamino-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,

N-[3-(2-Furfurylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-
 2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Thenylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-
 2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 5 N-[3-(4-Chlorobenzylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Pyridylmethylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide dihydrochloride,
 N-[3-(2-Thiazolylmethylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 10 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Fluorobenzylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(N-Methyl-2-pyrrolylmethylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethyl-
 propionyl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 15 hydrochloride,
 N-[3-(2-Fluorobenzylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride
 N-[3-Aminopropyl]-2(R)-[1-(2-methoxy-2-methylpropionyl)-pyrrolidine-2(S)-carbonyl-
 amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 20 N-[3-(3-Pyridylmethylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide dihydrochloride,
 N-[3-(2-Benzyloxy-2-methylpropylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2,2-dimethylpropylamino)propyl]-2(R)-[1-(2-methoxy-2-methylpropionyl)-
 25 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-Aminopropyl]-2(R)-[1-(cyclopentylcarbonyl)pyrrolidine-2(S)-carbonylamino]-3-
 naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-methylpropylamino)-2-hydroxypropyl]-2(R)-[1-(2-methoxy-2-methyl-
 propionyl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 30 hydrochloride,
 N-[3-(2,2-dimethylpropylamino)-2-hydroxypropyl]-2(R)-[1-(2-methoxy-2-methyl-
 propionyl)-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 hydrochloride,
 N-[3-(2-methylpropylamino)ethyl]-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-2(S)-carbonyl-
 35 amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-methylpropylamino)butyl]-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-2(S)-carbonyl-
 amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Tetrahydrofuranylmethylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)-

- pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-Aminopropyl]-2(R)-[1-(3,3-dimethyl-2-oxopentanoylcarbonyl)pyrrolidine-2(S)-
 carbonyl-amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Ethylbutylamino)-2-hydroxypropyl]-2(R)-[1-(2-ethylbutyryl)-pyrrolidine-2(S)-
 5 carbonyl-amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-Aminopropyl]-2(R)-[1-(2-ethylbutyryl)-4(R)-hydroxypyrrolidine-2(S)-carbonyl-
 amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-methylpropylamino)propyl]-2(R)-[1-(2-ethylbutyryl)-4(R)-hydroxy-pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 10 N-[3-Aminopropyl]-2(R)-[1-(2-isopropyl-3-methylbutyryl)-pyrrolidine-2(S)-carbonyl-
 amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(3-Bromobenzylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(4-Methoxybenzylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)pyrrolidine-2(S)-
 15 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-methylpropylamino)propyl]-2(R)-[1-(2-methoxy-2-methylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[2-Aminoethyl]-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-2(S)-carbonyl-amino]-3-
 naphthalen-2-yl-propionamide hydrochloride,
 20 N-[3-Aminopropyl]-2(R)-[1-(2-methoxy-2-methylpropionyl)-4(R)-hydroxy-pyrrolidine-
 2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Methylpropylamino)propyl]-2(R)-[1-(2-methoxy-2-methylpropionyl)-4(R)-
 hydroxy-pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 hydrochloride,
 25 N-[3-Aminopropyl]-2(R)-[1-(2-ethoxy-2-methylpropionyl)-pyrrolidine-2(S)-carbonyl-
 amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Methylpropylamino)propyl]-2(R)-[1-(2-ethoxy-2-methylpropionyl)-pyrrolidine-
 2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Methylpropylamino)butyl]-2(R)-[1-(2-methoxy-2-methylpropionyl)-4(R)-
 30 hydroxypyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide
 hydrochloride,
 N-[3-(2-Methylpropylamino)-2-hydroxypropyl]-2(R)-[1-(2-ethoxy-2-methyl-propionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Thenylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)-pyrrolidine-2(S)-
 35 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(4-Nitrobenzylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)pyrrolidine-2(S)-
 carbonyl-amino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(3-Hydroxybenzylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)pyrrolidine-2(S)-

- carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-Amino-2-hydroxypropyl]-2(R)-[1-(cyclopentylcarbonyl)pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-Ethylbutylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 5 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride
 N-[3-(2-Hydroxy-2-methylpropylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(4-Hydroxybenzylamino)propyl]-2(R)-[1-(2,2-dimethylpropionyl)pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 10 N-[3-(2-Methylpropylamino)propyl]-2(R)-[1-(2,2-dimethylbutyrylcarbonyl)-pyrrolidine
 -2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[2-(2-Hydroxyethylamino)ethyl]-2(R)-[1-(2,2-dimethylpropionyl)pyrrolidine-2(S)-
 carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-methylpropylamino)-2-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-4(S)-
 15 fluoropyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-methylpropylamino)-2(S)-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2-methylpropylamino)-2(R)-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 20 N-[3-(2,2-dimethylpropylamino)-2(S)-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride,
 N-[3-(2,2-dimethylpropylamino)-2(R)-hydroxypropyl]-2(R)-[1-(2,2-dimethylpropionyl)-
 pyrrolidine-2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride.
 N-[2-Aminoethyl]-2(R)-[1-(2-methoxy-2-methylpropionyl)-pyrrolidine-2(S)-carbonyl-
 25 amino]-3-naphthalen-2-yl-propionamide hydrochloride, and
 N-[3-(2-Ethylbutylamino)propyl]-2(R)-[1-(2-methoxy-2-methylpropionyl)-pyrrolidine-
 2(S)-carbonylamino]-3-naphthalen-2-yl-propionamide hydrochloride.

30 *Sub*
Cl 21. A composition useful for increasing the level of growth hormone in a
 human or an animal which comprises an inert carrier and an effective amount of a
 compound according to any one of Claims 1 to 20.

35 22. A composition useful for increasing the level of growth hormone in a
 human or an animal which comprises an inert carrier, an effective amount of a
 compound according to any one of Claims 1 to 20 and a growth hormone secretagogues
 selected from KP-102(GHRP-2), GHRP-6, Hexarelin, GHRP-1, L-692,429, L-692,585,
 MK-0677, G-7220, or growth hormone releasing factor(GRF), IGF-1, IGF-2, or
 B-HT920 or said growth hormone.

23. A method for increasing levels of endogenous growth hormones in a human or an animal which comprises administering to such human or animal an effective amount of a compound according to any one of Claims 1 to 20.

24. A method for treating or preventing diseases or conditions which may be treated or prevented by growth hormone which comprises administering to a human or an animal of such treatment or prevention an amount of a compound according to any one of Claims 1 to 20 which is effective in promoting release of said growth hormone.

25. A method of Claim 24 wherein the disease or condition is selected from the group consisting of osteoporosis; catabolic illness; immune deficiency, including that in individuals with a depressed T4 / T8 cell ratio; hip fracture; musculoskeletal impairment in the elderly; atrophy of muscle due to immobilization; growth hormone deficiency in adults or in children; obesity; cachexia and protein loss due to chronic illness such as AIDS or cancer; and treatment of patients recovering from major surgery, wounds or burns.

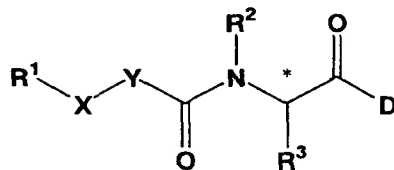
26. A method for increasing the level of growth hormone in a human or an animals which comprises administering to a patient a compound according to any one of Claims 1 to 20 in combination with an additional growth hormone secretagogue selected from KP-102(GHRP-2), GHRP-6, Hexarelin, GHRP-1, growth hormone releasing factor (GRF), IGF-1, IGF-2, B-HT920 or said growth hormone.

27. A method for the treatment of osteoporosis which comprises administering to a patient with osteoporosis combination of a bisphosphonate compound such as alendronate, and a compound according to any one of Claims 1 to 20.

28. A method for the treatment of bone fractures, wounds or burns which comprises administering to a patient with bone fractures, wounds or burns a combination of a growth factor such as FGF (fibroblast growth factor), PDBF (platelet-derived growthfactor) and a compound according to any one of Claims 1 to 20.

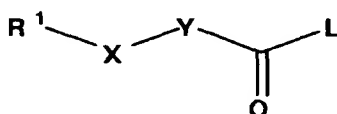
29. A method to increase the rate and extent of growth of animals, to increase the milk or wool production of animals, or for the treatment of ailments, the method comprising administering to a subject in need thereof an effective amount of a compound according to any one of Claims 1 to 20.

30. A process for the preparation of a compound having a formula:



wherein

5 R¹, R², R³, X, Y, D and * are as defined in Claim 1 which comprises reacting a compound having a formula :



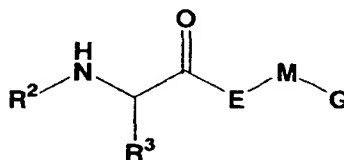
10 wherein

L is leaving group,

R^1 , X and Y are as defined in Claim 1

with a compound having a formula :

15



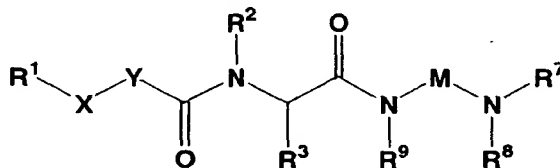
wherein

G is -NR⁷R⁸, -NR⁸Z, or phthalimide group,

20 Z is protecting group of amino,

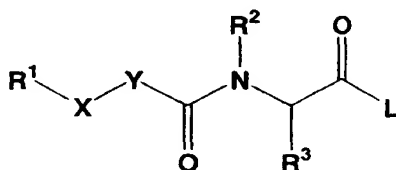
R² and R³ are as defined as claim 1, R⁷, R⁸, E, and M are as defined in Claim 15 in an inert solvent, and comprises removal protecting group if it is present, removal phthaloyl group if it is present.

25 31. A process for the preparation of a compound having a formula:



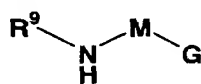
wherein

R¹, R², R³, X, and Y are as defined in Claim 1,
 R⁷, R⁸, R⁹, and M are as defined in Claim 15,
 which comprises reacting a compound having a formula :



5 wherein

L is leaving group, R¹, R², R³, X, and Y are as defined in Claim 1,
 with a compound having a formula :

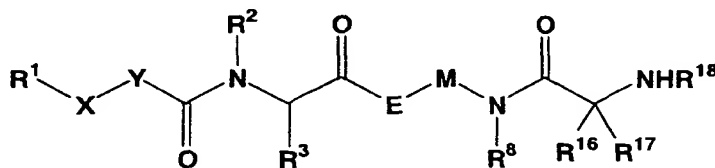


wherein

10 R⁹ and M are as defined in Claim 15, G is as defined in claim 30,
 in an inert solvent, and comprises removal protecting group if it is present, removal
 phthaloyl group if it is present.

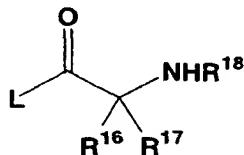
32. A process for the preparation of a compound having a formula:

15



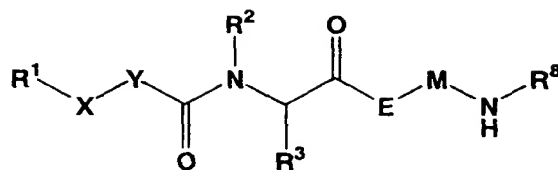
wherein

R¹, R², R³, X, and Y are as defined in Claim 1,
 20 R⁷, E, and M are as defined in Claim 15,
 R¹⁶, R¹⁷, and R¹⁸, are as defined in Claim 18,
 which comprises reacting a compound having a formula :



wherein

25 L is leaving group,
 R¹⁶, R¹⁷, and R¹⁸, are as defined in Claim 18,
 with a compound having a formula :



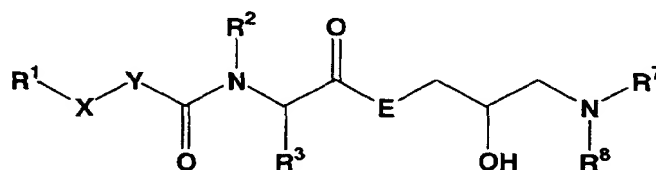
wherein

R¹, R², R³, X, and Y are as defined in Claim 1,

5 R⁷, E, and M are as defined in Claim 15,

in an inert solvent, and comprises removal protecting group if it is present, removal phthaloyl group if it is present.

33. A process for the preparation of a compound having a formula:



10

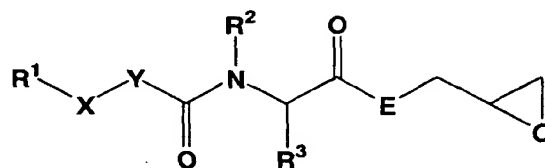
wherein

R¹, R², R³, X, and Y are as defined in Claim 1,

R⁷, R⁸ and E, are as defined in Claim 15,

which comprises reacting a compound having a formula :

15

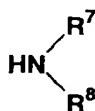


wherein

20 R¹, R², R³, X, and Y are as defined in Claim 1,

E is as defined in Claim 15,

with a compound having a formula :



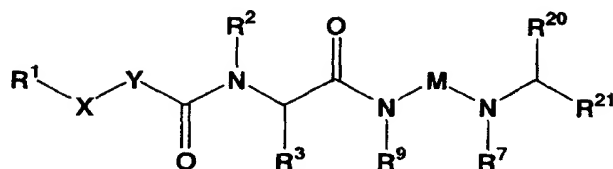
25

wherein

R⁷ and R⁸ are as defined in Claim 15,

in an inert solvent.

34. A process for the preparation of a compound having a formula:

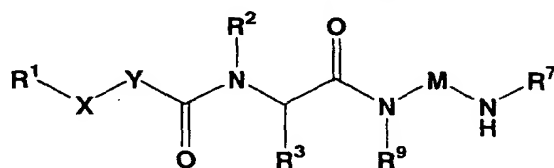


5 wherein

R^1 , R^2 , R^3 , X, and Y are as defined in Claim 1,

R^9 , R^{20} and R^{21} are as defined in Claim 15,

which comprises reacting a compound having a formula :

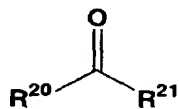


10 wherein

R^1 , R^2 , R^3 , X, and Y are as defined in Claim 1,

R^7 , and R^9 are as defined in Claim 15,

with a compound having a formula :



15

wherein

R^{20} and R^{21} are as defined in Claim 15,

in an inert solvent.

20